

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
15 July 2004 (15.07.2004)

PCT

(10) International Publication Number  
**WO 2004/058694 A1**

(51) International Patent Classification<sup>7</sup>: **C07C 303/40.**  
303/42, 311/37

Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN).  
**PATEL, Pankaj, Ramanbhai** [IN/IN]; Cadila Healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN).

(21) International Application Number:  
**PCT/IN2002/000244**

(22) International Filing Date:  
26 December 2002 (26.12.2002)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicant (for all designated States except US): **CADILA HEALTHCARE LIMITED** [IN/IN]; Zydus Tower, Satellite Cross Road, Ahmedabad 380 015, Gujarat (IN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **DUBEY, Sushil, K.** [IN/IN]; Cadila Healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **PATEL, Dharmeshkumar, A.** [IN/IN]; Cadila Healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **PATEL, Dhimant, J.** [IN/IN]; Cadila Healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **RUPAPARA, Mahesh, L.** [IN/IN]; Cadila Healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **AGARWAL, Virendra, Kunar** [—/IN]; Cadila Healthcare Limited, Zydus Tower, Satellite Cross Roads, Ahmedabad 380 015, Gujarat (IN). **PANDITA, Kanwal** [IN/IN]; Cadila Healthcare Limited, Zydus Tower,

(74) Agents: **SUBRAMANIAM, Hariharan** et al.: Subramaniam, Nataraj & Associates, E 556, Greater Kailash-II, New Delhi 110 048, Maharashtra (IN).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: A PROCESS FOR THE PREPARATION OF ENANTIOMERICALLY PURE (R) OR (S)-5-(2-AMINOPROPYL)-2-METHOXYBENZENESULFONAMIDE

WO 2004/058694 A1

(57) Abstract: The invention relates to a process for the manufacture of optically pure (R)- or (S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide with D-i.e. (2S, 3S) or L-i.e. (2R, 3R)-tartaric acid to form a mixture of diastereomeric salts, separating the diastereomeric salts by fractional crystallization in a mixture of solvent systems and at the specified temperature range and contacting the individual salts so separated with a base to provide said R-(−)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide or S-(+)-5-(2-amino propyl)-2-methoxybenzenesulfonamide.